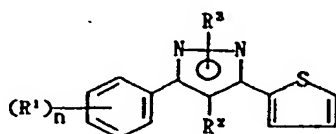




INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁷ : C07D 409/04, 333/16, 333/22, A61K 31/4155, A61P 33/10, A01N 43/56		A3	(11) International Publication Number: WO 00/09500
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(22) International Filing Date: 3 August 1999 (03.08.99)		(74) Agent: BADER, Axel; Bayer Aktiengesellschaft, D-51368 Leverkusen (DE).	
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(71) Applicant (for all designated States except US): NIHON BAYER AGROCHEM K.K. [JP/JP]; 10-8, Takanawa 4-chome, Minato-ku, Tokyo 108 (JP).		Published With international search report. Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.	
(72) Inventors; and (75) Inventors/Applicants (for US only): WADA, Katsuaki [JP/JP]; 5-12-15, Nakakuki, Oyama-shi, Tochigi 323-0806 (JP). GOMIBUCHI, Takuya [JP/JP]; 1-2-5, Ninomiya, Tsukuba-shi, Ibaraki 305-0051 (JP). OTSU, Yuichi [JP/JP]; 1-5-7, Ekinan-cho, Oyama-shi, Tochigi 323-0822 (JP). SHIBUYA, Katsuhiko [JP/JP]; 6-14-4, Midori, Minamikawachi-machi, Kawachi-gun, Tochigi 329-0433 (JP). ABE, Takahisa [JP/JP]; 4-1-24, 2-Jo Miyanomori Chuo-ku, Sapporo-shi, Hokkaido 064-0952 (JP). ANDERSCH, Wolfram [DE/DE]; Schlodderdicher Weg 77, D-51469 Bergisch Gladbach (DE). HARDER, Achim [DE/DE]; Europaring 54, D-51109 Köln (DE). LÖSEL,		(88) Date of publication of the international search report: 15 June 2000 (15.06.00)	

(54) Title: NEMATICIDAL PYRAZOLES



(I)

(57) Abstract

Novel pyrazoles of formula (I) wherein R¹ represents halogen, C₁₋₆ alkyl, C₁₋₅ haloalkyl, C₂₋₆ alkoxy, C₁₋₄ alkylthio, C₂₋₅ alkenyloxy, C₃₋₅ alkynyloxy, C₂₋₆ (total carbon number) alkoxyalkyl, C₂₋₆ (total carbon number) alkylthioalkyl, C₁₋₅ haloalkoxy, C₂₋₆ (total carbon number) alkoxyalkoxy, hydroxy or optionally substituted phenyl, R² represents hydrogen, halogen, C₁₋₅ alkyl, C₂₋₆ (total carbon number) alkoxyalkyl, C₂₋₆ (total carbon number) alkylthioalkyl, C₂₋₆ (total carbon number) alkylsulfinylalkyl, C₂₋₆ (total carbon number) alkylsulfonylalkyl or C₁₋₅ haloalkyl, R³ represents hydrogen, C₁₋₅ alkyl, -COR⁴, COOR⁵, CH(OR⁶)₂ or CH₂Si(R⁷)₃, R⁴ represents C₁₋₁₀ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, optionally substituted C₃₋₆ cycloalkyl, C₂₋₆ (total carbon number) alkoxyalkyl, C₂₋₆ (total carbon number) alkylthioalkyl, optionally substituted phenyl, C₁₋₆ haloalkyl, alkylamino, di-(C₁₋₆ alkyl)amino or optionally substituted phenylamino, R⁵ represents C₁₋₇ alkyl, R⁶ and R⁷ represent C₁₋₆ alkyl, and n is 1, 2 or 3, and when n is 2 or 3, the corresponding number (n) of R¹ radicals may be the same or different, processes for preparing these compounds and their use as nematicides and anthelmintics.

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INTERNATIONAL SEARCH REPORT

Int. Application No
PCT/EP 99/05684

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D409/04 C07D333/16 C07D333/22 A61K31/4155 A61P33/10
A01N43/56

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D C07C A61K A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>DATABASE CHEMABS 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US VARANO, FLAVIA ET AL: "Structure-activity relationship studies of novel pyrazolo(1,5-c! '1,3!benzoxazines. Synthesis and benzodiazepine receptor affinity" retrieved from STN Database accession no. 126:171535 XP002134797 2-'5-(2-thienyl)-1H-pyrazol-3-yl!phenol (RN=187173-74-6) & ARCH. PHARM. (WEINHEIM, GER.) (1996), 329(12), 529-534 ,</p> <p style="text-align: center;">-/-</p>	1-3

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

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Date of the actual completion of the international search

5 April 2000

Date of mailing of the international search report

20/04/2000

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NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
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INTERNATIONAL SEARCH REPORT

Int. Patent Application No

PCT/EP 99/05684

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 3 991 073 A (MULDER RUDOLF ET AL) 9 November 1976 (1976-11-09) claim 1; examples 29,32,88	1,5
A	CHEMICAL ABSTRACTS, vol. 110, no. 17, 24 April 1989 (1989-04-24) Columbus, Ohio, US; abstract no. 154064, GUPTA, D. P. ET AL: "Synthesis, anthelmintic and antiacetylcholinesterase activity of newer furan derivatives" XP002134796 abstract & INDIAN J. PHARM. SCI. (1988), 50(2), 98-100, 112 ,	1-3,5-11
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Int. J. Application No

PCT/EP 99/05684

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>DATABASE CHEMABS 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US THAKAR, K. A. ET AL: "Synthesis of 3-(2'-furyl or 2'-thienyl)-5-(substituted 2''-hydroxyphenyl)isoxazoles" retrieved from STN Database accession no. 85:123800 XP002134868 compounds with RN=57051-63-5; 57051-64-6; 57051-65-7; 57051-66-8; 57051-71-5; 57051-72-6; 60478-00-4; 60478-01-5 & INDIAN J. CHEM., SECT. B (1976), 14B(3), 224-6 ,</p>	12
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INTERNATIONAL SEARCH REPORT

Int. .donal Application No
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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 4 122 200 A (BRIET PHILIPPE ET AL) 24 October 1978 (1978-10-24) example 6	12
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INTERNATIONAL SEARCH REPORT

International application No.

PCT/EP 99/ 05684

Box I Observations where certain claims were found unsearchable (Continuation of Item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: and 10
because they relate to subject matter not required to be searched by this Authority, namely:
Remark: Insofar claims 9 and 10
are directed to a method of treatment of the human/animal
body, the search has been carried out and based on the alleged
effects of the compounds.
2. ☒ Claims Nos.: not applicable
because they relate to parts of the International Application that do not comply with the prescribed requirements to such
an extent that no meaningful International Search can be carried out, specifically:
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of Item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all
searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment
of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report
covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is
restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: not applicable

The initial phase of the search for claim 12 revealed a very large number of documents relevant to the issue of novelty. So many documents were retrieved that it is impossible to determine which parts of the claim may be said to define subject-matter for which protection might legitimately be sought (Article 6 PCT). For these reasons, a meaningful search over the whole breadth of the claim 12 is impossible. Consequently, the search for claim 12 was only complete insofar it relates to the three Markush formulas which contain a thienyl group. For the other Markush formula of claim 12, only a few examples of novelty destroying documents have been cited in the search report.

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Information on patent family members

Int. J. Application No

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